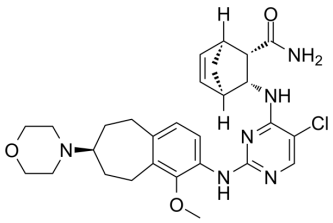
CEP-28122

Cat. No.:	HY-18030
CAS No.:	1022958-60-6
Molecular Formula:	$C_{28}H_{35}ClN_6O_3$
Molecular Weight:	539.07
Target:	ALK
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CEP-28122 is a highly potent and selective orally active ALK inhibitor with IC50 of 1.9 ± 0.5 nM in an enzyme-based TRF assay.IC50 value: 1.9 ± 0.5 nMTarget: ALKin vitro: CEP-28122 is a potent inhibitor of recombinant ALK activity and cellular ALK tyrosine phosphorylation. CEP-28122 also inhibits Flt4 with IC50 of 46 ±10 nM. CEP-28122 induces concentration-dependent growth inhibition/cytotoxicity of ALK-positive anaplastic large-cell lymphoma (ALCL), non-small celllung cancer (NSCLC), and neuroblastoma cells. [1]in vivo: CEP-28122 displays dose-dependent inhibition of ALK tyrosine phosphorylation in tumor xenografts in mice, with substantial target inhibition (>90%) for more than12 hours following single oral dosing at 30 mg/kg. Dose-dependent antitumor activity was observed in ALK-positive ALCL, NSCLC, and neuroblastoma tumor xenografts in mice administered CEP-28122 orally, with complete/near complete tumor regressions observed following treatment at doses of 30 mg/kg twice daily or higher. [1]

REFERENCES

[1]. Cheng M, et al. CEP-28122, a highly potent and selective orally active inhibitor of anaplastic lymphoma kinase with antitumor activity in experimental models of human cancers. Mol Cancer Ther. 2012 Mar;11(3):670-679.

Caution: Product has not been fully validated for medical applications. For research use only.

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